

10/706,328  
5/3/2007

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 11:33:03 ON 03 MAY 2007

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:33:15 ON 03 MAY 2007

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STRUCTURE FILE UPDATES: 2 MAY 2007 HIGHEST RN 934214-84-3

DICTIONARY FILE UPDATES: 2 MAY 2007 HIGHEST RN 934214-84-3

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

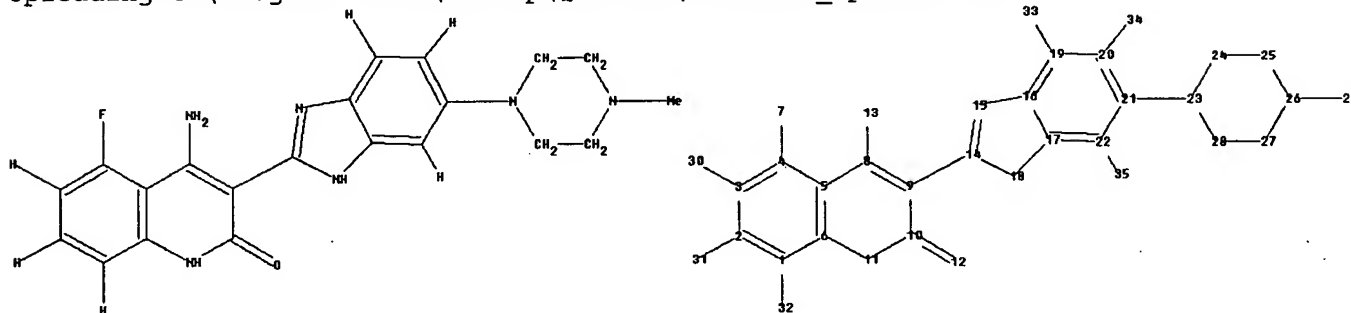
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10706328\_updated.str



chain nodes :

7 12 13 29 30 31 32 33 34 35

ring nodes :

1 2 3 4 5 6 8 9 10 11 14 15 16 17 18 19 20 21 22 23 24 25 26  
27 28

chain bonds :

1-32 2-31 3-30 4-7 8-13 9-14 10-12 19-33 20-34 21-23 22-35 26-29

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-11 8-9 9-10 10-11 14-15 14-18 15-16 16-17

16-19 17-18 17-22 19-20 20-21 21-22 23-24 23-28 24-25 25-26 26-27 27-28

exact/norm bonds :

5-8 6-11 8-9 8-13 9-10 10-11 10-12 14-15 14-18 15-16 17-18 21-23 23-24  
23-28 24-25 25-26 26-27 27-28

exact bonds :

1-32 2-31 3-30 4-7 9-14 19-33 20-34 22-35 26-29

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-19 17-22 19-20 20-21 21-22

Match level :

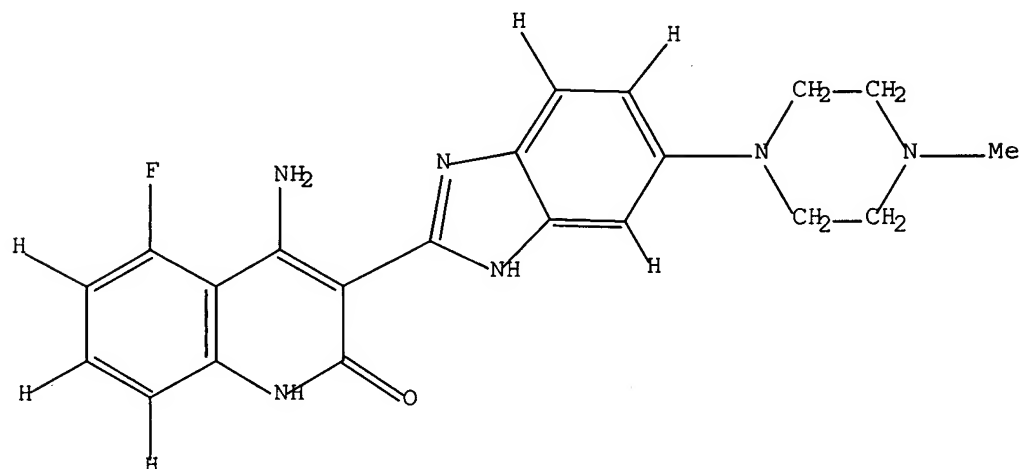
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom  
11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:Atom  
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:CLASS 30:CLASS  
31:CLASS 32:CLASS  
33:CLASS 34:CLASS 35:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 exa

SAMPLE SEARCH INITIATED 11:33:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 7 TO 298

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA EXA SAM L1

=> s 11 exa full

FULL SEARCH INITIATED 11:33:44 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 212 TO ITERATE

100.0% PROCESSED 212 ITERATIONS  
SEARCH TIME: 00.00.01

2 ANSWERS

L3 2 SEA EXA FUL L1

=> d 13 1-2

L3 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 692737-81-8 REGISTRY

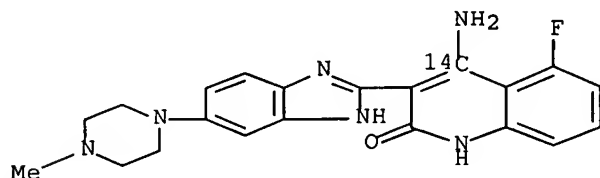
ED Entered STN: 14 Jun 2004

CN 2(1H)-Quinolinone-4-14C, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

MF C21 H21 F N6 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2007 ACS on STN

RN 405169-16-6 REGISTRY

ED Entered STN: 12 Apr 2002

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[5-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI)

OTHER NAMES:

CN 4-Amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one

CN CHIR 258

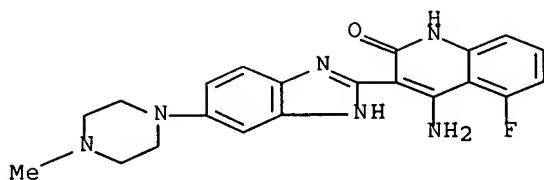
DR 804551-71-1

MF C21 H21 F N6 O

CI COM

SR CA

LC STN Files: CA, CAPLUS, CASREACT, IMSDRUGNEWS, IMSRESEARCH, PROUSDDR, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

30 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 30 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file medline caplus wpids uspatfull  
 COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
62.60	62.81

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 11:34:23 ON 03 MAY 2007

FILE 'CAPLUS' ENTERED AT 11:34:23 ON 03 MAY 2007  
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 COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIDS' ENTERED AT 11:34:23 ON 03 MAY 2007  
 COPYRIGHT (C) 2007 THE THOMSON CORPORATION

FILE 'USPATFULL' ENTERED AT 11:34:23 ON 03 MAY 2007  
 CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 13  
 SAMPLE SEARCH INITIATED 11:34:29 FILE 'WPIDS'  
 SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 0 TO 0  
 PROJECTED ANSWERS: 0 TO 0

L4 50 L3

=> d 14 1-50 ibib abs

L4 ANSWER 1 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2007:150229 CAPLUS Full-text  
 DOCUMENT NUMBER: 146:221063  
 TITLE: Method for assaying anti-tumor effect of angiogenesis inhibitor  
 INVENTOR(S): Uenaka, Toshimitsu; Yamamoto, Yuji; Matsui, Junji  
 PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: PCT Int. Appl., 147pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015578	A1	20070208	WO 2006-JP315698	20060802
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2005-224173 A 20050802  
JP 2006-164700 A 20060614

OTHER SOURCE(S): MARPAT 146:221063

AB Disclosed is a method for predicting the anti-tumor effect of an angiogenesis inhibitor. The method comprises evaluating the EGF-dependence property of an angiogenesis inhibitor with respect to proliferation and/or survival of tumor cells, and using the evaluated EGF-dependence property as a measure. The anti-tumor effect of an angiogenesis inhibitor correlates with the EGF-dependency property of the inhibitor with respect to proliferation and/or survival of tumor cells. Therefore, an angiogenesis inhibitor is capable of exerting an excellent anti-tumor effect by using it in combination with a substance having an EGF inhibitory effect.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2007:144036 CAPLUS Full-text

DOCUMENT NUMBER: 146:221062

TITLE: Method for predicting antitumor efficacy of angiogenesis inhibitor

INVENTOR(S): Matsui, Junji; Semba, Taro

PATENT ASSIGNEE(S): Eisai R & D Management Co., Ltd., Japan

SOURCE: PCT Int. Appl., 104pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007015569	A1	20070208	WO 2006-JP315563	20060801
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,			

US, UZ, VC, VN, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, .  
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-223440 A 20050801

OTHER SOURCE(S): MARPAT 146:221062

AB A method for predicting the antitumor efficacy of an angiogenesis inhibitor is provided, which comprises measuring the number of blood vessels surrounded by pericytes in tumor, and using the measurement value as a measure for the anti-tumor effect.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:119480 CAPLUS Full-text

DOCUMENT NUMBER: 146:206220

TITLE: Multicyclic sulfonamide compounds as inhibitors of histone deacetylase for the treatment of disease and their preparation

INVENTOR(S): Malecha, James W.; Noble, Stewart A.; Wiley, Brandon M.; Hoffman, Timothy Z.; Bonnefous, Celine; Sertic, Michael; Wash, Paul L.; Smith, Nicholas D.; Hassig, Christian A.; Scranton, Shawn A.; Payne, Joseph E.; Hager, Jeffery

PATENT ASSIGNEE(S): Kalypsys, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 44pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007027184	A1	20070201	US 2006-496784	20060727
WO 2007016354	A1	20070208	WO 2006-US29438	20060727

W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

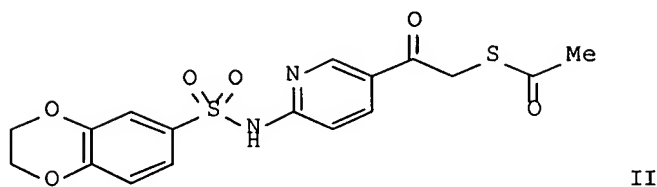
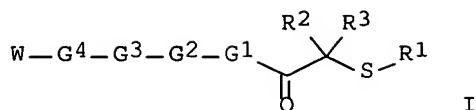
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-704091P P 20050729

US 2006-780129P P 20060307

OTHER SOURCE(S): MARPAT 146:206220

GI



AB Disclosed herein are sulfonamide compds. of formula I as described herein. Compds. of formula I wherein G1 is bond, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkylamino, alkylcarbonyl, etc.; G2 is (un)substituted (mono/poly) heteroaryl; G3 is SO<sub>2</sub>NH and derivs., NHSO<sub>2</sub> and derivs., C1-3 alkyl-SO<sub>2</sub>NH and derivs., and NHSO<sub>2</sub>-C1-3 alkyl and derivs.; G4 is bicyclic (hetero)aryl, and (hetero)cycloalkyl-fused monocyclic (hetero)aryl; W is OH and derivs., (un)substituted oxyalkyl, SH and derivs., etc.; R1 is H, PO<sub>3</sub>H<sub>2</sub> and derivs., CN, (un)substituted acyl, (hetero)aryl, alkyl, aroyl, etc.; R2 and R3 are independently H, Me, and Et; and their therapeutically acceptable salts, esters, and prodrugs thereof, are claimed. Methods and compns. are disclosed for treating disease states including, but not limited to cancers, autoimmune diseases, tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders, polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, cardiovascular conditions, and disorders in which angiogenesis play a role in pathogenesis, using the compds. of the invention. In addition, methods of modulating the activity of histone deacetylase (HDAC) are also disclosed. Example compound II was prepared by chlorination of 6-chloronicotinic acid; the resulting 6-chloronicotinoyl chloride underwent alkylation of di-Me malonate to give di-Me 2-(6-chloronicotinoyl)malonate, which underwent decarboxylation to give 2-chloro-5-acetylpyridine, which underwent amination to give 2-amino-5-acetylpyridine, which underwent sulfamidation with 2,3-dihydrobenzo[1,4]dioxin-6-sulfonyl chloride to give 2,3-dihydrobenzo[1,4]dioxin-6-sulfonic acid (5-acetylpyridin-2-yl)amide, which underwent bromination to give 2,3-dihydrobenzo[1,4]dioxin-6-sulfonic acid (5-(bromoacetyl)pyridin-2-yl)amide, which underwent substitution with potassium thioacetate to give compound II. All the invention compds. were evaluated for their HDAC inhibitory activity. From the assay, it was determined that compound II exhibited in vitro and cellular IC<sub>50</sub> values of  $\leq 1$   $\mu$ M.

L4 ANSWER 4 OF 50 , CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:1252191 CAPLUS Full-text  
 DOCUMENT NUMBER: 146:13206  
 TITLE:

Crystalline forms of 4-amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one lactic acid salts

INVENTOR(S): Okhamafe, Augustus; Chou, Joyce; Gullapalli, Rampurna; Harwood, Eric; Ryckman, David; Zhu, Shuguang; Shang, Xiao

PATENT ASSIGNEE(S): Novartis A.-G., USA  
 SOURCE: PCT Int. Appl., 107pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006127926	A2	20061130	WO 2006-US20296	20060523
WO 2006127926	A3	20070118		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-683999P P 20050523

AB The present invention relates to non-hydrate crystalline forms of 4-amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one lactic acid salts (I), solid pharmaceutical formulations containing the same and methods of use. The present invention also relates to crystalline hydrates of I, pharmaceutical formulations containing them and methods of use related thereto. The present invention further relates to crystalline solvates of I. I was prepared in a series of steps from 5-chloro-2-nitroaniline and 1-methylpiperazine. The crystal form of I was prepared

L4 ANSWER 5 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1225966 CAPLUS Full-text

DOCUMENT NUMBER: 146:722

TITLE: Methods for treating drug resistant cancer

INVENTOR(S): Michelson, Glenn C.; Chan, Vivien W.; Heise, Carla C.; Wiesmann, Marion; Dawes, Timothy D.

PATENT ASSIGNEE(S): Novartis AG, USA

SOURCE: PCT Int. Appl., 151pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006124413	A2	20061123	WO 2006-US17922	20060510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			



KG, KZ, MD, RU, TJ, TM  
PRIORITY APPLN. INFO.: US 2005-680722P P 20050513  
OTHER SOURCE(S): MARPAT 146:722

AB This invention pertains generally to methods of treating cancer. More specifically, the invention pertains to methods and 4-amino substituted quinolinone benzimidazolyl compds. such as 4-amino-5-fluoro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one compds. and pharmaceutical formulations comprising such compds. for treating drug-resistant cancer and patients with drug resistant cancer.

L4 ANSWER 6 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:1225007 CAPLUS Full-text  
DOCUMENT NUMBER: 145:505480  
TITLE: Process for preparation of 5-(4-methylpiperazin-1-yl)-2-nitroaniline from 1-methylpiperazine and 5-halo-2-nitroaniline.  
INVENTOR(S): Calvin, Gabriel; Harwood, Eric; Ryckman, David; Zhu, Shuguang  
PATENT ASSIGNEE(S): Novartis AG, USA  
SOURCE: PCT Int. Appl., 88pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125130	A1	20061123	WO 2006-US19349	20060517
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2005-681893P P 20050517  
OTHER SOURCE(S): CASREACT 145:505480

AB A method for synthesizing 5-(4-methylpiperazin-1-yl)-2-nitroaniline (I) comprises reaction of 1-methylpiperazine with 5-halo-2-nitroaniline at 90-110° in a first (organic) solvent followed by cooling the mixture to 85-95°, adding a second solvent, and forming a slurry of the title compound. Thus, 5-chloro-2-nitroaniline and 1-methylpiperazine were heated in EtOH at 97° for approx. 40 h; the mixture was cooled to 80° followed by addition of H<sub>2</sub>O and cooling over 4 h to room temperature to give after filtration and drying 99% I.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2006:816356 CAPLUS Full-text  
DOCUMENT NUMBER: 146:265940  
TITLE: CHIR-258 Is Efficacious in A Newly Developed Fibroblast Growth Factor Receptor 3-Expressing Orthotopic Multiple Myeloma Model in Mice

AUTHOR(S): Xin, Xiaohua; Abrams, Tinya J.; Hollenbach, Paul W.; Rendahl, Katherine G.; Tang, Yan; Oei, Yoko A.; Embry, Millicent G.; Swinarski, Debbie E.; Garrett, Evelyn N.; Pryer, Nancy K.; Trudel, Suzanne; Jallal, Bahija; Mendel, Dirk B.; Heise, Carla C.

CORPORATE SOURCE: Translational Sciences, Chiron Corporation, Emeryville, CA, 94608, USA

SOURCE: Clinical Cancer Research (2006), 12(16), 4908-4915  
CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB PURPOSE: The ectopically expressed and deregulated fibroblast growth factor receptor 3 (FGFR3) results from a t(4;14) chromosomal translocation that occurs in .apprx.15% of multiple myeloma (MM) patients and confers a particularly poor prognosis. This study assesses the antimyeloma activity of CHIR-258, a small-mol. inhibitor of multiple receptor tyrosine kinases that is currently in phase I trials, in a newly developed FGFR3-driven preclin. MM animal model. Exptl. Design: the authors developed an orthotopic MM model in mice using a luciferase-expressing human KMS-11-luc line that expresses mutant FGFR3 (Y373C). The antimyeloma activity of CHIR-258 was evaluated at doses that inhibited FGFR3 signaling in vivo in this FGFR3-driven animal model. RESULTS: Noninvasive bioluminescence imaging detected MM lesions in nearly all mice injected with KMS-11-luc cells, which were mainly localized in the spine, skull, and pelvis, resulting in frequent development of paralysis. Daily oral administration of CHIR-258 at doses that inhibited FGFR3 signaling in KMS-11-luc tumors in vivo resulted in a significant inhibition of KMS-11-luc tumor growth, which translated into a significant improvement in animal survival. CONCLUSIONS: the authors' data provide a relevant preclin. basis for clin. trials of CHIR-258 in FGFR3-pos. MM patients.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:763835 CAPLUS Full-text

DOCUMENT NUMBER: 145:202872

TITLE: Treatment of metastasized tumors

INVENTOR(S): Lopes De Menezes, Daniel; Heise, Carla; Xin, Xiaohua

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 101pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081445	A2	20060803	WO 2006-US2979	20060127
WO 2006081445	A3	20070111		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			

COMPOUND TO  
TREAT METASTASIZED  
TUMORS  
no AUC or  
Cmax

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM  
US 2006183750 A1 20060817 US 2006-342257 20060127  
PRIORITY APPLN. INFO.: US 2005-647568P P 20050127  
US 2005-669245P P 20050406  
US 2005-722053P P 20050929

OTHER SOURCE(S): MARPAT 145:202872

AB Methods of treating metastatic cancer such as metastasized tumors include administering a compound of Structure I, a tautomer of the compound, a pharmaceutically acceptable salt of the compound, a pharmaceutically acceptable salt or the tautomer, or a mixture thereof to a subject. The compound, tautomer, salt of the compound, salt of the tautomer, or mixture thereof may be used to prepare medicaments for treating metastatic cancer. The variable A has the values defined herein.

L4 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:394720 CAPLUS Full-text

DOCUMENT NUMBER: 145:39944

TITLE: Inhibition of phosphorylation of the colony-stimulating factor-1 receptor (c-Fms) tyrosine kinase in transfected cells by ABT-869 and other tyrosine kinase inhibitors

AUTHOR(S): Guo, Jun; Marcotte, Patrick A.; McCall, J. Owen; Dai, Yujia; Pease, Lori J.; Michaelides, Michael R.; Davidsen, Steven K.; Glaser, Keith B.

CORPORATE SOURCE: Cancer Discovery Research (R47J), Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, USA

SOURCE: Molecular Cancer Therapeutics (2006), 5(4), 1007-1013  
CODEN: MCTOCF; ISSN: 1535-7163

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The properties of several multitargeted receptor tyrosine kinase inhibitors were studied for their inhibition of colony-stimulating factor-1 receptor (CSF-1R) signaling. A structurally novel, multitargeted tyrosine kinase inhibitor (ABT-869), imatinib (STI571), and 4 compds. currently in clin. development (AG013736, BAY 43-9006, CHIR258, and SU11248) were tested for inhibition of CSF-1R signaling in both the enzymic and cellular assays. ABT-869 showed potent CSF-1R inhibition in both the enzyme and cell-based assays (IC50s < 20 nmol/L). In contrast to a previous report, we have found that imatinib has activity against human CSF-1R in both assays at submicromolar concns. In enzyme assays, we have found that the inhibition of CSF-1R by both ABT-869 and imatinib are competitive with ATP, with Ki values of 3 and 120 nmol/L, resp. SU11248 is a potent inhibitor of CSF-1R in the enzyme assay (IC50 = 7 nmol/L) and inhibits receptor phosphorylation in the cellular assay (IC50 = 61 nmol/L). AG013736 was also a potent inhibitor of CSF-1R in both assays (enzyme, IC50 = 16 nmol/L; cellular, IC50 = 21 nmol/L), whereas BAY 43-9006 is less potent in the enzyme assay (IC50 = 107 nmol/L) than in the cellular system (IC50 = 20 nmol/L). In contrast, we found that CHIR258 had less activity in the cellular assay (IC50 = 535 nmol/L) relative to its enzymic potency (IC50 = 26 nmol/L). These results show the use of a cell-based assay to confirm the inhibitory activity of lead compds. and drug candidates, such as ABT-869, against the CSF-1R protein in situ.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:317747 CAPLUS Full-text

DOCUMENT NUMBER: 145:305178  
TITLE: Advances in oral therapy for multiple myeloma  
AUTHOR(S): Morgan, Gareth J.; Krishnan, Biju; Jenner, Matthew;  
Davies, Faith E.  
CORPORATE SOURCE: Royal Marsden Hospital and Institute of Cancer  
Research, London, UK  
SOURCE: Lancet Oncology (2006), 7(4), 316-325  
CODEN: LOANBN; ISSN: 1470-2045  
PUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English

AB A review. Conventional i.v. chemotherapy regimens are toxic, cumbersome, and neg. affect patients' quality of life, with oral treatment preferable to most patients with cancer. Multiple myeloma is the second most common haematol. malignant disease, but cannot be cured with conventional and high-dose chemotherapy. New oral treatments that target myeloma cells or bone marrow are being developed that are highly effective yet have low toxic effects, such as the immunomodulatory drugs thalidomide and lenalidomide. Several treatments in early development have shown antimyeloma activity, including: CHIR-258, which inhibits fibroblast growth factor receptor 3; NVP-ADW742, which inhibits insulin-like growth factor receptor 1; and PTK787, which inhibits vascular endothelial growth factor. Addnl. drugs aimed at switching off silenced genes include histone deacetylase inhibitors. The availability of these various oral treatments is hoped to improve regimens that, if used sequentially or in combination, offer the potential of making multiple myeloma a chronic disease, thereby extending patients' lifespans and improving quality of life.

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:268466 CAPLUS Full-text  
DOCUMENT NUMBER: 144:324798  
TITLE: Simultaneous use of sulfonamide-containing compound  
and angiogenesis inhibitor  
INVENTOR(S): Owa, Takashi; Ozawa, Yoichi; Semba, Taro  
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 270 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030941	A1	20060323	WO 2005-JP17228	20050913
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2006030947	A1	20060323	WO 2005-JP17238	20050913

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006135486 A1 20060622 US 2005-226655 20050913  
PRIORITY APPLN. INFO.: US 2004-609452P P 20040913  
JP 2005-54150 A 20050228  
JP 2005-54475 A 20050228

OTHER SOURCE(S): MARPAT 144:324798

AB A pharmaceutical composition comprising a sulfonamide-containing compound combined with an angiogenesis inhibitor.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:167710 CAPLUS Full-text

DOCUMENT NUMBER: 144:267266

TITLE: Flt3 inhibitors for immune suppression

INVENTOR(S): Small, Donald; Whartenby, Katherine A.; Pardoll, Drew

PATENT ASSIGNEE(S): The Johns Hopkins University, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020145	A2	20060223	WO 2005-US25318	20050714
WO 2006020145	A3	20070308		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

AU 2005274852	A1	20060223	AU 2005-274852	20050714
CA 2574150	A1	20060223	CA 2005-2574150	20050714
EP 1778224	A2	20070502	EP 2005-790718	20050714

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

PRIORITY APPLN. INFO.: US 2004-589511P P 20040719  
WO 2005-US25318 W 20050714

OTHER SOURCE(S): MARPAT 144:267266

AB New methods are provided for suppressing the immune system and for treating immune related disorders. Therapies of the invention include administration of an FLT3 inhibitor compound to a subject in need thereof, such as a subject suffering from organ rejection, bone marrow transplant rejection, acquired immune deficiency syndrome, arthritis, aplastic anemia, graft-vs.-host disease, Graves' disease, established exptl. allergic encephalomyelitis, multiple sclerosis, lupus, or a neurol. disorder. Methods are also provided for screening therapeutic agents for treating immune disorders, including the use of a mouse having an elevated level of FLT3 receptor activity.

L4 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1341902 CAPLUS Full-text

DOCUMENT NUMBER: 144:232902

TITLE: LHMDs mediated tandem acylation-cyclization of 2-aminobenzonitriles with 2-benzimidazol-2-yl acetates: a short and efficient route to the synthesis of 4-amino-3-benzimidazol-2-ylhydroquinolin-2-ones

AUTHOR(S): Antonios-McCrea, William R.; Frazier, Kelly A.; Jazan, Elisa M.; Machajewski, Timothy D.; McBride, Christopher M.; Pecchi, Sabina; Renhowe, Paul A.; Shafer, Cynthia M.; Taylor, Clarke

CORPORATE SOURCE: Small Molecule Drug Discovery, Medicinal Chemistry Department, Chiron Corporation, Emeryville, CA, 94608, USA

SOURCE: Tetrahedron Letters (2006), 47(5), 657-660

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:232902

AB The discovery of a mild, one-pot tandem acylation-cyclization for the synthesis of 4-amino-3-(2-benzimidazolyl)quinolinone derivs. from 2-aminobenzonitrile derivs. and Et (2-benzimidazolyl)acetate derivs. is described. Among the reagents evaluated, lithium hexamethyldisilazide (LHMDs) was the most efficient.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1242789 CAPLUS Full-text

DOCUMENT NUMBER: 143:477969

TITLE: Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

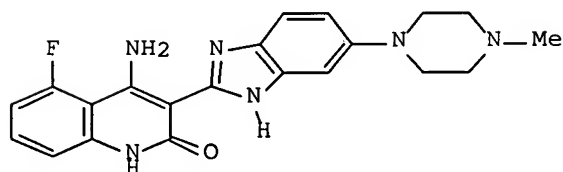
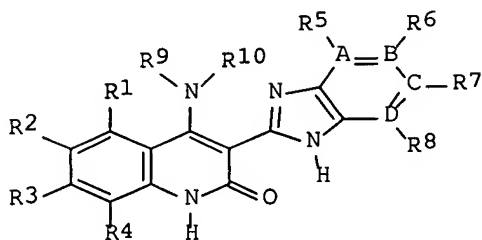
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261307	A1	20051124	US 2004-983174	20041105
US 2004092535	A1	20040513	US 2003-644055	20030819

Compound to treat  
multiple myeloma  
no auc or  
Cmax

METHOD OF INHIBITING  
TYROSINE KINASE no auc  
or Cmax

CN 1692112	A	20051102	CN 2003-824565	20030819
US 2005203101	A1	20050915	US 2004-839793	20040505
PRIORITY APPLN. INFO.:			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			US 2003-644055	A2 20030819
			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219

OTHER SOURCE(S): MARPAT 143:477969  
GI



AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited

FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

L4 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1223876 CAPLUS Full-text

DOCUMENT NUMBER: 143:477966

TITLE: Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer

INVENTOR(S): Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

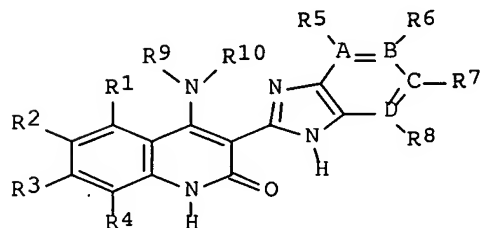
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005256157	A1	20051117	US 2005-41191	20050121
US 2004092535	A1	20040513	US 2003-644055	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
US 2005203101	A1	20050915	US 2004-839793	20040505
PRIORITY APPLN. INFO.:			US 2002-405729P	P 20020823
			US 2002-426107P	P 20021113
			US 2002-426226P	P 20021113
			US 2002-426282P	P 20021113
			US 2002-428210P	P 20021121
			US 2003-460327P	P 20030403
			US 2003-460328P	P 20030403
			US 2003-460493P	P 20030403
			US 2003-478916P	P 20030616
			US 2003-484048P	P 20030701
			US 2003-644055	A2 20030819
			US 2004-538984P	P 20040123

OTHER SOURCE(S): CASREACT 143:477966; MARPAT 143:477966

GI



I



AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

L4 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:976928 CAPLUS Full-text

DOCUMENT NUMBER: 143:279443

TITLE: 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one  
derivatives for the modulation of inflammatory and  
metastatic processes

INVENTOR(S): Lee, Sang H.; Heise, Carla C.

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

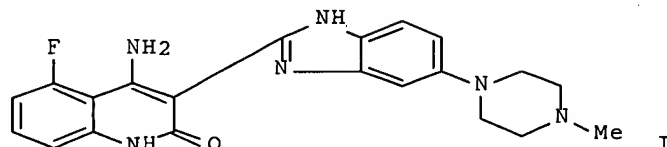
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082340	A2	20050909	WO 2005-US5316	20050218
WO 2005082340	A3	20060504		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005216904	A1	20050909	AU 2005-216904	20050218
CA 2556872	A1	20050909	CA 2005-2556872	20050218
US 2005239825	A1	20051027	US 2005-61386	20050218

EP 1718306 A2 20061108 EP 2005-723338 20050218  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK,  
BA, HR, IS, YU

PRIORITY APPLN. INFO.:

US 2004-546395P P 20040220  
US 2004-547103P P 20040223  
US 2004-554771P P 20040319  
WO 2005-US5316 W 20050218

OTHER SOURCE(S): MARPAT 143:279443  
GI



AB The invention provides methods for using of using 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivs. (Markush included), or a salt or tautomer thereof, in the treatment of disorders relating to cell adhesion and metastatic processes. Preparation of I is included.

L4 ANSWER 17 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:696750 CAPLUS Full-text

DOCUMENT NUMBER: 143:166661

TITLE: Use of PDGF receptor tyrosine kinase (PDGF-R TK) inhibitors for the treatment of myocarditis and its complications

INVENTOR(S): Leipner, Carola; Boehmer, Frank-Dietmar; Gruen, Katja; Shetty, Suraj Shivappa; Massimini, Giorgio

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

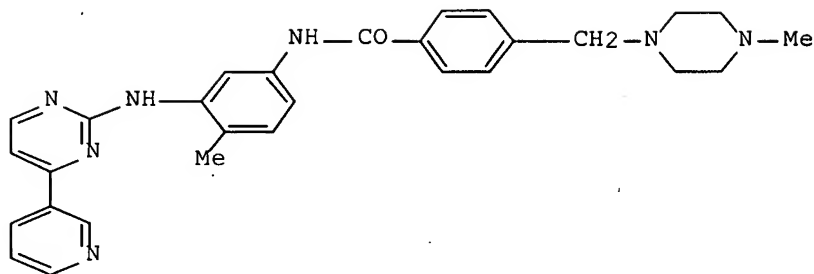
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070432	A1	20050804	WO 2005-EP749	20050126
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

GB 2004-1761 A 20040127

GI



I

AB The invention discloses the use of a PDGF-R TK inhibitor, e.g. I, or a pharmaceutically acceptable salt thereof, for the manufacture of pharmaceutical compns. for the treatment of myocarditis and/or its complications.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:629989 CAPLUS Full-text

DOCUMENT NUMBER: 143:452249

TITLE: CHIR-258: A Potent Inhibitor of FLT3 Kinase in Experimental Tumor Xenograft Models of Human Acute Myelogenous Leukemia

AUTHOR(S): Lopes de Menezes, Daniel E.; Peng, Jing; Garrett, Evelyn N.; Louie, Sharianne G.; Lee, Sang H.; Wiesmann, Marion; Tang, Yan; Shephard, Lee; Goldbeck, Cheryl; Oei, Yoko; Ye, Helen; Aukerman, Sharon L.; Heise, Carla

CORPORATE SOURCE: Biopharma Research and Development, Chiron Corp., Emeryville, CA, USA

SOURCE: Clinical Cancer Research (2005), 11(14), 5281-5291  
CODEN: CCREF4; ISSN: 1078-0432

PUBLISHER: American Association for Cancer Research

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose: Fms-like tyrosine kinase 3 (FLT3) encodes a receptor tyrosine kinase (RTK) for which activating mutations have been identified in a proportion of acute myelogenous leukemia (AML) patients and associated with poor clin. prognosis. Given the relevance of FLT3 mutations in AML, we investigated the activity of CHIR-258, an orally active, multitargeted small mol., with potent activity against FLT3 kinase and class III, IV, and V RTKs involved in endothelial and tumor cell proliferation in AML models. Exptl. Design: CHIR-258 was tested on two human leukemic cell lines in vitro and in vivo with differing FLT3 mutational status [MV4;11 cells express FLT3 internal tandem duplications (ITD) vs. RS4;11 cells with wild-type (WT) FLT3]. Results: Antiproliferative activity of CHIR-258 against MV4;11 was .apprx.24-fold greater compared with RS4;11, indicating more potent inhibition against cells with constitutively activated FLT3 ITD. Dose-dependent down modulation of receptor phosphorylation and downstream signaling [signal transducer and activator of transcription 5 (STAT5) and extracellular signal-regulated kinase (ERK)/mitogen-activated protein kinase] in MV4;11 cells with CHIR-258 confirmed the mol. mechanism of action. Target modulation of phospho-FLT3, phospho-STAT5, and phospho-ERK in MV4;11 tumors was achieved at biol. active

doses of CHIR-258. Tumor regressions and eradication of AML cells from the bone marrow were shown in s.c. and bone marrow engraftment leukemic xenograft models. Tumor responses were characterized by decreased cellular proliferation and pos. immunohistochem. staining for active caspase-3 and cleaved poly(ADP-ribose) polymerase, suggesting cell death was mediated in part via apoptosis. Conclusions: These data indicate that CHIR-258 may be an effective therapy in FLT3-associated AML and warrants clin. trials.

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:451351 CAPLUS Full-text

DOCUMENT NUMBER: 143:7710

TITLE: Preparation of benzimidazole quinolinones for

inhibiting FGFR3 and treating multiple myeloma

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla

C.; Machajewski, Timothy D.; Ryckman, David; Shang,

Xiao; Wiesmann, Marion; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 567 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

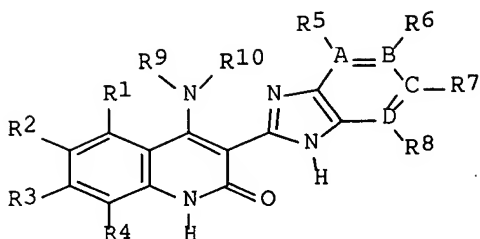
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047244	A2	20050526	WO 2004-US36956	20041105
WO 2005047244	A3	20061221		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004289672	A1	20050526	AU 2004-289672	20041105
CA 2544186	A1	20050526	CA 2004-2544186	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1692085	A2	20060823	EP 2004-810419	20041105
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
JP 2007510665	T	20070426	JP 2006-538512	20041105
PRIORITY APPLN. INFO.:			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219
			WO 2004-US36956	W 20041105

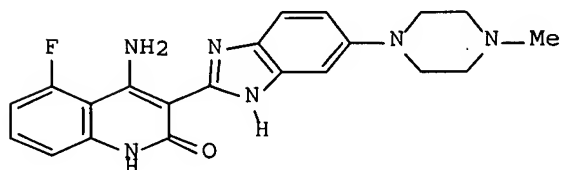
OTHER SOURCE(S): MARPAT 143:7710

GI

SYNTHESIS



I



II

AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

L4 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:451119 CAPLUS Full-text

DOCUMENT NUMBER: 143:7732

TITLE: Process for preparation of benzimidazolylquinolones by reaction of aminobenzonitriles with benzimidazolylacetates.

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Ryckman, David; Shang, Xiao; Zhu, Shuguang; Machajewski, Timothy D.

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

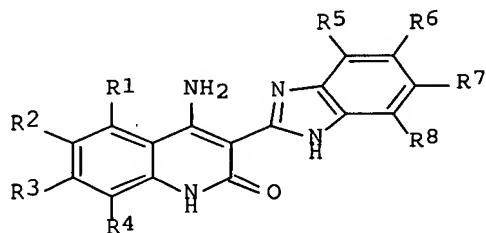
DOCUMENT TYPE: Patent

LANGUAGE: English

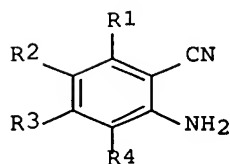
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

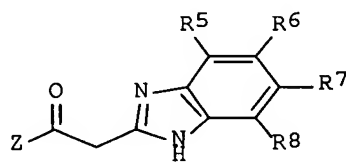
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046590	A2	20050526	WO 2004-US37051	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004288709	A1	20050526	AU 2004-288709	20041105
CA 2543820	A1	20050526	CA 2004-2543820	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1682529	A2	20060726	EP 2004-810468	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1878766	A	20061213	CN 2004-80032837	20041105
JP 2007510668	T	20070426	JP 2006-538526	20041105
PRIORITY APPLN. INFO.:			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219
			WO 2004-US37051	W 20041105
OTHER SOURCE(S):			CASREACT 143:7732; MARPAT 143:7732	
GI				



I



II



III

AB Title compds. [I; R1-R4 = H, Cl, Br, F, iodo, OR10, NR11R12, (substituted) alkyl, aryl, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl; R5-R8 = H, F, Cl, Br, iodo, OR13, NR14R15, SR16, (substituted) alkyl, aryl, alkenyl, alkynyl, heterocyclyl, heterocyclylalkyl, alkoxyalkyl, aryloxyalkyl, heterocyclloxyalkyl; R10, R13 = (substituted) alkyl, aryl, heterocyclyl, heterocyclylalkyl, alkoxyalkyl, aryloxyalkyl, heterocyclloxyalkyl; R11-R16 =

(substituted) alkyl, aryl, heterocyclyl], were prepared by reaction of aminobenzonitriles (II; R1-R4 as above) with benzimidazolylacetates (III; R5-R8 as above; Z = OR9a, NR9bR9c; R9a-R9c = alkyl) in the presence of the Na or K salt of a base. Thus, Et [6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]acetate (preparation given), 2-amino-6-fluorobenzonitrile, and potassium bis(trimethylsilyl)amide were stirred together in THF at 40-62° for 1 h to give 47.9% 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one.

L4 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:451118 CAPLUS Full-text

DOCUMENT NUMBER: 143:7709

TITLE: Preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase

INVENTOR(S): Cai, Shaopei; Chou, Joyce; Harwood, Eric; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Zhu, Shuguang

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

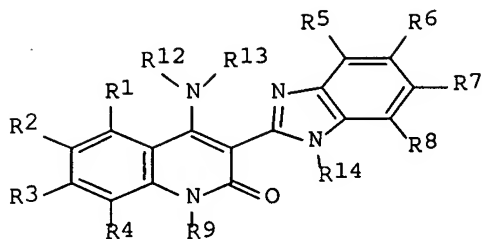
FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

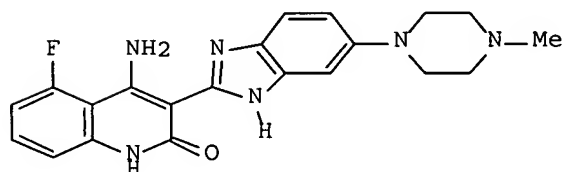
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005046589	A2	20050526	WO 2004-US36941	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004288692	A1	20050526	AU 2004-288692	20041105
CA 2544492	A1	20050526	CA 2004-2544492	20041105
US 2005137399	A1	20050623	US 2004-982757	20041105
US 2005209247	A1	20050922	US 2004-982543	20041105
EP 1699421	A2	20060913	EP 2004-816941	20041105
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				
BR 2004016143	A	20070102	BR 2004-16143	20041105
PRIORITY APPLN. INFO.:			US 2003-517915P	P 20031107
			US 2003-526425P	P 20031202
			US 2003-526426P	P 20031202
			US 2004-546017P	P 20040219
			WO 2004-US36941	W 20041105

OTHER SOURCE(S): CASREACT 143:7709; MARPAT 143:7709

GI



I



II

AB The title compds. I [R1-R4 = H, halo, CN, NO<sub>2</sub>, etc.; R5-R8 = H, halo, NO<sub>2</sub>, etc.; R9 = H; R12 = H, alkyl, aryl, heterocyclyl; R13 = H, alkyl, aryl, heterocyclyl, etc.; R14 = H] and their pharmaceutically acceptable lactate salts, useful for inhibiting vascular endothelial growth factor receptor tyrosine kinase, were prepared. E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II) and its lactate salt, starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The pharmaceutically acceptable salts of I have improved aqueous solubility and desirable drug substance properties. Many of the exemplary compds. I displayed an IC<sub>50</sub> of less than 10 μM with respect to Flt-1, KDR, PDGF, c-KIT, FLT-3, VEGFR1, VEGFR2, c-Met, CSF-1, FGFR3 and/or bFGFR. In addition, many of the exemplary compds. exhibited IC<sub>50</sub> value of less than 10 μM with respect to PDGFR. The 4-amino substituted compds. I such as II were found to be potent inhibitors of various kinases such as VEGFR2 (KDR, Flk-1), FGFR1 and PDGFRβ with IC<sub>50</sub>'s ranging from 10-27 nM. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

L4 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:418830 CAPLUS Full-text  
 DOCUMENT NUMBER: 143:221928  
 TITLE: In vivo Target Modulation and Biological Activity of CHIR-258, a Multitargeted Growth Factor Receptor Kinase Inhibitor, in Colon Cancer Models  
 AUTHOR(S): Lee, Sang Hoon; Lopes de Menezes, Daniel; Vora, Jayesh; Harris, Alex; Ye, Helen; Nordahl, Lara; Garrett, Evelyn; Samara, Emil; Aukerman, Sharon Lea; Gelb, Arnold B.; Heise, Carla  
 CORPORATE SOURCE: Departments of Pharmacology, and Experimental Pathology, Pharmacokinetics and Drug Metabolism, and Applied Biochemistry, Translational Medicine, Chiron Corp., Emeryville, CA, USA  
 SOURCE: Clinical Cancer Research (2005), 11(10), 3633-3641  
 CODEN: CCREF4; ISSN: 1078-0432  
 PUBLISHER: American Association for Cancer Research  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English



AB Purpose: To evaluate the therapeutic and biol. effects of CHIR-258, an orally bioavailable, potent inhibitor of class III-V receptor tyrosine kinases, in colon cancer models. Exptl. Design: The pharmacol. activity of CHIR-258 was characterized by monitoring target modulation as well as by evaluating the antitumor and antiangiogenic effects in human colon xenograft models. Results: CHIR-258 inhibits vascular endothelial growth factor receptor 1/2, fibroblast growth factor receptor 1/3, and platelet-derived growth factor receptor  $\beta$  (PDGFR $\beta$ ) and shows both antitumor and antiangiogenic activities in vivo. Treatment of KM12L4a human colon cancer cells with CHIR-258 resulted in a dose-dependent inhibition of vascular endothelial growth factor receptor 1 and PDGFR $\beta$  phosphorylation and reduction of phosphorylated extracellular signal-regulated kinase (ERK) levels, indicating modulation of target receptors and downstream signaling. In vivo administration of CHIR-258 resulted in significant tumor growth inhibition and tumor regressions, including large, established tumors (500-1,000 mm<sup>3</sup>). Immunohistochem. anal. showed a reduction of phosphorylated PDGFR $\beta$  and phosphorylated ERK in tumor cells after oral dosing with CHIR-258 compared with control tumors. These changes were accompanied by decreased tumor cell proliferation rate and reduced intratumoral microvessel d. CHIR-258 inhibited the phosphorylation of PDGFR $\beta$  and ERK phosphorylation in tumors within 2 h following dosing and the inhibitory activity was sustained for >24 h. Significant antitumor activity was observed with intermittent dosing schedules, indicating a sustained biol. activity. Conclusion: These studies provide evidence that biol. activity of CHIR-258 in tumors correlates with efficacy and aids in the identification of potential biomarkers of this multitargeted receptor tyrosine kinase inhibitor. CHIR-258 exhibits properties that make it a promising candidate for clin. development in a variety of solid and hematol. malignancies.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:369248 CAPLUS Full-text

DOCUMENT NUMBER: 142:428777

TITLE: Antibodies of fibroblast growth factor receptor-1 and uses as inhibitors for the treatment of obesity

INVENTOR(S): Sun, Haijun

PATENT ASSIGNEE(S): Imclone Systems Incorporated, USA

SOURCE: PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037235	A2	20050428	WO 2004-US34970	20041018
WO 2005037235	A3	20051222		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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CA 2542638 A1 20050428 CA 2004-2542638 20041018  
EP 1680140 A2 20060719 EP 2004-796034 20041018

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.: US 2003-512255P P 20031016  
WO 2004-US34970 W 20041018

AB The present invention is directed to an antibody or fragments thereof that are specific for a fibroblast growth factor receptor (FGFR)-1(IIIb), FGFR-1(IIIc), and/or FGFR-4. Also, provided herein, are vectors and host cells comprising the nucleic acids encoding those antibodies. The present invention further provides methods of antagonizing FGFR-1 or FGFR-4 as a treatment for obesity, diabetes, or a condition related thereto, and methods of reducing food intake.

L4 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:295572 CAPLUS Full-text

DOCUMENT NUMBER: 143:591

TITLE: CHIR-258, a novel, multitargeted tyrosine kinase inhibitor for the potential treatment of t(4;14) multiple myeloma

AUTHOR(S): Trudel, Suzanne; Li, Zhi Hua; Wei, Ellen; Wiesmann, Marion; Chang, Hong; Chen, Christine; Reece, Donna; Heise, Carla; Stewart, A. Keith

CORPORATE SOURCE: Department of Medical Oncology, Princess Margaret Hospital and McLaughlin Centre for Molecular Medicine, University of Toronto, Toronto, ON, Can.

SOURCE: Blood (2005), 105(7), 2941-2948

CODEN: BLOOAW; ISSN: 0006-4971

PUBLISHER: American Society of Hematology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The t(4;14) translocation that occurs uniquely in a subset (15%) of patients with multiple myeloma (MM) results in the ectopic expression of the receptor Tyr kinase (RTK), fibroblast growth factor receptor 3 (FGFR3). Inhibition of activated FGFR3 in MM cells induces apoptosis, validating FGFR3 as a therapeutic target in t(4;14) MM and encouraging the clin. development of FGFR3 inhibitors for the treatment of these patients, who have a poor prognosis. The authors describe here the characterization of a novel, small-mol. inhibitor of class III, IV, and V RTKs, CHIR-258, as an inhibitor of FGFR3. CHIR-258 potently inhibits FGFR3 with an inhibitory concentration of 50% (IC50) of 5 nM in in vitro kinase assays and selectively inhibited the growth of B9 cells and human myeloma cell lines expressing wild-type (WT) or activated mutant FGFR3. In responsive cell lines, CHIR-258 induced cytostatic and cytotoxic effects. Importantly, addition of interleukin 6 (IL-6) or insulin growth factor 1 (IGF-1) or coculture on stroma did not confer resistance to CHIR-258. In primary myeloma cells from t(4;14) patients, CHIR-258 inhibited downstream extracellular signal-regulated kinase (ERK) 1/2 phosphorylation with an associated cytotoxic response. Finally, therapeutic efficacy of CHIR-258 was demonstrated in a xenograft mouse model of FGFR3 MM. These studies support the clin. evaluation of CHIR-258 in MM.

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:99470 CAPLUS Full-text

DOCUMENT NUMBER: 142:197889

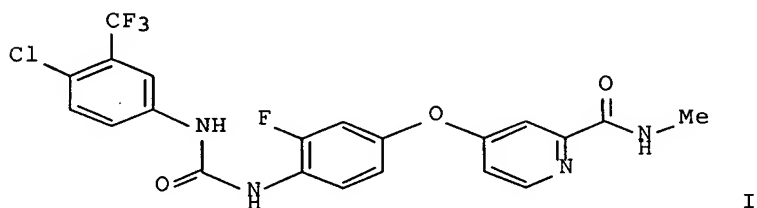
TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for treatment of raf, VEGFR, PDGFR, p38 and flt-3 kinase-mediated diseases

INVENTOR(S): Dumas, Jacques; Boyer, Stephen; Riedl, Bernd; Wilhelm, ~

Scott  
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA  
 SOURCE: PCT Int. Appl., 68 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009961	A2	20050203	WO 2004-US23500	20040722
WO 2005009961	A3	20050331		
WO 2005009961	B1	20050602		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004259760	A1	20050203	AU 2004-259760	20040722
CA 2532865	A1	20050203	CA 2004-2532865	20040722
US 2005038080	A1	20050217	US 2004-895985	20040722
EP 1663978	A2	20060607	EP 2004-786091	20040722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004012219	A	20060822	BR 2004-12219	20040722
CN 1856469	A	20061101	CN 2004-80021091	20040722
JP 2006528196	T	20061214	JP 2006-521221	20040722
NO 2006000870	A	20060407	NO 2006-870	20060222
PRIORITY APPLN. INFO.:			US 2003-489102P	P 20030723
			US 2004-540326P	P 20040202
			WO 2004-US23500	W 20040722

OTHER SOURCE(S): CASREACT 142:197889  
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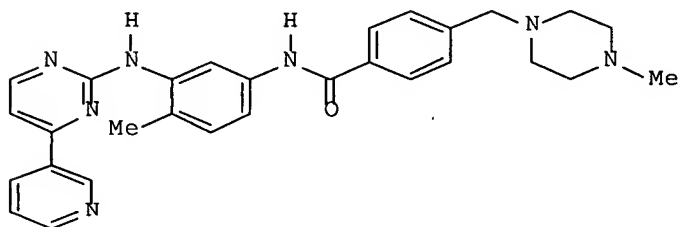


AB Title compound I is prepared I and salts thereof is prepared in several steps from 3-fluoro-4-nitrophenol, 4-chloro-N-methylpyridine-2-carboxamide and 4-chloro-3-(trifluoromethyl)phenylisocyanate. I inhibits PDGFR tyrosine kinase with IC<sub>50</sub> = 83 nM. I is useful for the treatment of, e.g., inflammation and as an antiproliferative agent.

L4 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:1059176 CAPLUS Full-text  
 DOCUMENT NUMBER: 142:32986  
 TITLE: Use of a c-abl-, PDGFR-, or c-kit-tyrosine kinase inhibitor for the treatment of diabetes  
 INVENTOR(S): Hagerkvist, Robert Per; Welsh, Nils Richard  
 PATENT ASSIGNEE(S): Swed.  
 SOURCE: PCT Int. Appl., 22 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004105763	A2	20041209	WO 2004-EP5679	20040526
WO 2004105763	A3	20050602		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004243491	A1	20041209	AU 2004-243491	20040526
CA 2526594	A1	20041209	CA 2004-2526594	20040526
EP 1631291	A2	20060308	EP 2004-739375	20040526
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BR 2004010704	A	20060613	BR 2004-10704	20040526
CN 1794995	A	20060628	CN 2004-80014278	20040526
JP 2006528225	T	20061214	JP 2006-529925	20040526
NO 2005006188	A	20051223	NO 2005-6188	20051223
US 2007072932	A1	20070329	US 2006-556984	20060622
PRIORITY APPLN. INFO.:				
			GB 2003-12086	A 20030527
			GB 2004-2682	A 20040206
			WO 2004-EP5679	W 20040526

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AB The invention discloses the use of a c-Abl-, PDGFR-, or c-kit-tyrosine kinase inhibitor, e.g. I, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament for the treatment of diabetes, including type I or type II diabetes.

L4 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004:428803 CAPLUS Full-text  
DOCUMENT NUMBER: 141:1211  
TITLE: Methods of treating cancer with a methylpiperazinyl  
benzimidazolyl quinolinone and related methods  
INVENTOR(S): Machajewski, Timothy D.; Hannah, Alison; Harwood,  
Eric; Haroldsen, Peter; Heise, Carla C.; Samara, Emil;  
Shang, Xiao; Vora, Jayesh; Zhu, Shuguang  
PATENT ASSIGNEE(S): Chiron Corporation, USA  
SOURCE: PCT Int. Appl., 76 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 7  
PATENT INFORMATION:

★ APPLICATION

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043389	A2	20040527	WO 2003-US35806	20031112
WO 2004043389	A3	20040805		
WO 2004043389	B1	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2501932	A1	20040527	CA 2003-2501932	20031112
AU 2003290699	A1	20040603	AU 2003-290699	20031112
US 2004220196	A1	20041104	US 2003-706328	20031112
EP 1565187	A2	20050824	EP 2003-783281	20031112
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003016229	A	20051004	BR 2003-16229	20031112
CN 1711088	A	20051221	CN 2003-80103178	20031112
JP 2006511616	T	20060406	JP 2005-507133	20031112
IN 2005KN00793	A	20060303	IN 2005-KN793	20050503
NO 2005002760	A	20050720	NO 2005-2760	20050607

THIS IS NOT  
APPLICATION

PRIORITY APPLN. INFO.:  
US 2002-426107P P 20021113  
US 2002-426204P P 20021113  
US 2002-426282P P 20021113  
US 2003-460328P P 20030403  
US 2003-460369P P 20030403  
US 2003-460493P P 20030403  
US 2003-517915P P 20031107  
WO 2003-US35806 W 20031112

AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one (I) are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias,

including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of I and determining a metabolic profile therefore. The growth of both the KM12L4a and MV4;11 xenografts in mice were potently inhibited by I in vivo.

L4 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:182836 CAPLUS Full-text

DOCUMENT NUMBER: 140:235711

TITLE: Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase

INVENTOR(S): Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; McBride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 570 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

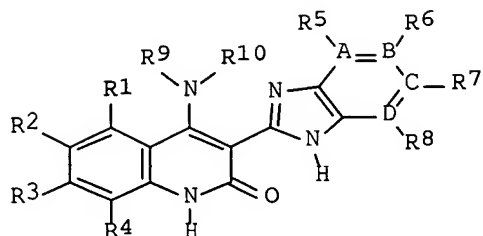
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018419	A2	20040304	WO 2003-US25990	20030819
WO 2004018419	A3	20040603		
WO 2004018419	B1	20040729		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2496164	A1	20040304	CA 2003-2496164	20030819
AU 2003288899	A1	20040311	AU 2003-288899	20030819
EP 1539754	A2	20050615	EP 2003-781286	20030819
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BR 2003013743	A	20050705	BR 2003-13743	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
JP 2006503919	T	20060202	JP 2005-501762	20030819
IN 2005KN00484	A	20060106	IN 2005-KN484	20050323

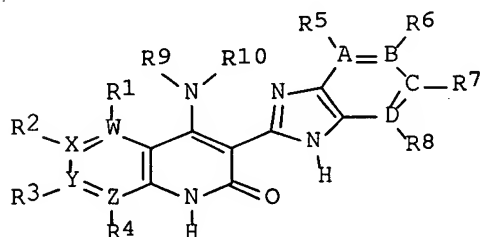
PRIORITY APPLN. INFO.:  
 US 2002-405729P P 20020823  
 US 2002-426107P P 20021113  
 US 2002-426226P P 20021113  
 US 2002-426282P P 20021113  
 US 2002-428210P P 20021121  
 US 2003-460327P P 20030403  
 US 2003-460328P P 20030403  
 US 2003-460493P P 20030403

US 2003-478916P P 20030616  
 US 2003-484048P P 20030701  
 WO 2003-US25990 W 20030819

OTHER SOURCE(S): MARPAT 140:235711  
 GI



I



II

AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M.

L4 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:98039 CAPLUS Full-text

DOCUMENT NUMBER: 138:153534

TITLE: Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents

INVENTOR(S): Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003028018	A1	20030206	US 2002-116117	20020405
US 2002107392	A1	20020808	US 2001-951265	20010911
US 6605617	B2	20030812		
EP 1650203	A1	20060426	EP 2005-17665	20010911
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US 2003158224	A1	20030821	US 2002-284017	20021030
US 6774237	B2	20040810		
US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
CA 2481055	A1	20031023	CA 2003-2481055	20030404
WO 2003087095	A1	20031023	WO 2003-US10463	20030404
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AU 2003226275	A1	20031027	AU 2003-226275	20030404
EP 1497287	A1	20050119	EP 2003-746614	20030404
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BR 2003008996	A	20050222	BR 2003-8996	20030404
CN 1659165	A	20050824	CN 2003-812909	20030404
JP 2005527587	T	20050915	JP 2003-584051	20030404
US 2004097545	A1	20040520	US 2003-613411	20030703
US 6800760	B2	20041005		
US 2005054672	A1	20050310	US 2004-886950	20040708
NO 2004004776	A	20041207	NO 2004-4776	20041103
US 2005209456	A1	20050922	US 2005-92137	20050329
PRIORITY APPLN. INFO.:				
			US 2000-232159P	P 20000911
			US 2001-951265	A2 20010911
			EP 2001-973722	A3 20010911
			US 2002-116117	A 20020405
			US 2002-284017	A1 20021030
			WO 2003-US10463	W 20030404
			US 2004-886950	A1 20040708
OTHER SOURCE(S): MARPAT 138:153534				
GI				



AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO<sub>2</sub>H, certain NH<sub>2</sub> derivs.; R<sub>1</sub>-R<sub>4</sub> = H, halo, cyano, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO<sub>2</sub>H and esters and amides; R<sub>5</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., SH or derivs., cyano, etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy or aryloxy, NH<sub>2</sub> or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH<sub>2</sub> or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R<sub>1</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, cyano, OH or derivs., NH<sub>2</sub> or derivs., acyl, SH or derivs., etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy, aryloxy, NH<sub>2</sub> or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH<sub>2</sub>CH<sub>2</sub>Cl in the presence of SnCl<sub>4</sub>, gave the invention quinolinone III. Many compds. I and II had in vitro IC<sub>50</sub> values of less than 10 µM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

L4 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:220574 CAPLUS Full-text

DOCUMENT NUMBER: 136:263158

TITLE: Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents

INVENTOR(S): Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	A8	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				

US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2421120	A1	20020321	CA 2001-2421120	20010911
AU 200193275	A	20020326	AU 2001-93275	20010911
EP 1317442	A1	20030611	EP 2001-973722	20010911
EP 1317442	B1	20051116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 200301045	A2	20031229	HU 2003-1045	20010911
BR 2001013757	A	20040302	BR 2001-13757	20010911
JP 2004509112	T	20040325	JP 2002-526851	20010911
NZ 524717	A	20040924	NZ 2001-524717	20010911
AT 309996	T	20051215	AT 2001-973722	20010911
ES 2250480	T3	20060416	ES 2001-1973722	20010911
EP 1650203	A1	20060426	EP 2005-17665	20010911
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AP 1666	A	20061031	AP 2003-2781	20010911
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW				
SG 129306	A1	20070226	SG 2005-1676	20010911
ZA 2003001578	A	20040826	ZA 2003-1578	20030226
IN 2003KN00244	A	20050311	IN 2003-KN244	20030226
NO 2003001097	A	20030325	NO 2003-1097	20030310
US 2004006101	A1	20040108	US 2003-387355	20030312
US 6762194	B2	20040713		
BG 107709	A	20040130	BG 2003-107709	20030408
HK 1053644	A1	20060504	HK 2003-104217	20030612
US 2005054672	A1	20050310	US 2004-886950	20040708
US 2005209456	A1	20050922	US 2005-92137	20050329
AU 2005202068	A1	20050602	AU 2005-202068	20050513
PRIORITY APPLN. INFO.:			US 2000-232159P	P 20000911
			AU 2001-293275	A3 20010911
			EP 2001-973722	A3 20010911
			US 2001-951265	A1 20010911
			WO 2001-US42131	W 20010911
			US 2002-284017	A1 20021030
			US 2004-886950	A1 20040708
OTHER SOURCE(S):	MARPAT 136:263158			
GI				

*GEWUS  
no AUC or  
Cmax*

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO<sub>2</sub>H, certain NH<sub>2</sub> derivs.; R<sub>1</sub>-R<sub>4</sub> = H, halo, cyano, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO<sub>2</sub>H and esters and amides; R<sub>5</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., SH or derivs., cyano, etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy or aryloxy, NH<sub>2</sub> or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH<sub>2</sub> or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R<sub>1</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, cyano, OH or derivs., NH<sub>2</sub> or derivs., acyl, SH or derivs., etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy, aryloxy, NH<sub>2</sub> or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations

including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH<sub>2</sub>CH<sub>2</sub>Cl in the presence of SnCl<sub>4</sub>, gave the invention quinolinone III. Many compds. I and II had in vitro IC<sub>50</sub> values of less than 10 µM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2007:83463 USPATFULL Full-text  
 TITLE: Use of tyrosine kinase inhibitor to treat diabetes  
 INVENTOR(S): Hagerkvist, Robert Per, Hoganasgatan 7B, Uppsala, SWEDEN 75330  
 Welsh, Nils Richard, Uppsala, SWEDEN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007072932	A1	20070329
APPLICATION INFO.:	US 2004-556984	A1	20040526 (10)
	WO 2004-EP5679		20040526
			20060622 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 2003-12086	20030527
	GB 2004-2682	20040206
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	NOVARTIS, CORPORATE INTELLECTUAL PROPERTY, ONE HEALTH PLAZA 104/3, EAST HANOVER, NJ, 07936-1080, US	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1-10	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	857	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to the use of a c-Abl-, PDGF-R-, or c-kit- tyrosine kinase inhibitor, e.g. 4-(4-methylpiperazin-1-ylmethyl)-N-[4-methyl-3-(4-pyridin-3-yl)pyrimidin-2-ylamino]phenyl]- benzamide, or a pharmaceutically acceptable salt thereof for the manufacture of a medicament for the treatment of diabetes, e.g. type I diabetes, type II diabetes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 32 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2007:30909 USPATFULL Full-text  
 TITLE: Multicyclic sulfonamide compounds as inhibitors of histone deacetylase for the treatment of disease

INVENTOR(S): Malecha, James W., San Diego, CA, UNITED STATES  
Noble, Stewart A., San Diego, CA, UNITED STATES  
Wiley, Brandon M., Philadelphia, PA, UNITED STATES  
Hoffman, Timothy Z., San Diego, CA, UNITED STATES  
Bonnetfous, Celine, San Diego, CA, UNITED STATES  
Sertic, Michael, Euclid, OH, UNITED STATES  
Wash, Paul L., San Diego, CA, UNITED STATES  
Smith, Nicholas D., San Diego, CA, UNITED STATES  
Hassig, Christian A., Mira Mesa, CA, UNITED STATES  
Scranton, Shawn A., San Diego, CA, UNITED STATES  
Payne, Joseph E., Oceanside, CA, UNITED STATES  
Hager, Jeffery, San Diego, CA, UNITED STATES  
PATENT ASSIGNEE(S): KALYPSSYS, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2007027184	A1	20070201
APPLICATION INFO.:	US 2006-496784	A1	20060727 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2005-704091P	20050729 (60)
	US 2006-780129P	20060307 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	INTERNATIONAL PATENT GROUP, ATTN: MS LAVERN HALL, P.O. BOX 38129, ST. LOUIS, MO, 63138, US	
NUMBER OF CLAIMS:	64	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2549	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed herein are sulfonamide compounds of Formula VII as described herein. ##STR1## Methods and compositions are disclosed for treating disease states including, but not limited to cancers, autoimmune diseases, tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders, polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, cardiovascular conditions, and disorders in which angiogenesis play a role in pathogenesis, using the compounds of the invention. In addition, methods of modulating the activity of histone deacetylase (HDAC) are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 33 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2006:215594 USPATFULL Full-text  
TITLE: Treatment of metastasized tumors  
INVENTOR(S): Menezes, Daniel Lopes De, Emeryville, CA, UNITED STATES  
Heise, Carla, Benicia, CA, UNITED STATES  
Xin, Xiaohua, Palo Alto, CA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006183750	A1	20060817
APPLICATION INFO.:	US 2006-342257	A1	20060127 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2005-647568P	20050127 (60)

METASTASIZED  
TUMOR  
NO PNE. or Cmx

US 2005-669245P 20050406 (60)  
US 2005-722053P 20050929 (60)  
DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097, US  
NUMBER OF CLAIMS: 22  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 8 Drawing Page(s)  
LINE COUNT: 2547

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating metastatic cancer such as metastasized tumors include administering a compound of Structure I, a tautomer of the compound, a pharmaceutically acceptable salt of the compound, a pharmaceutically acceptable salt or the tautomer, or a mixture thereof to a subject. The compound, tautomer, salt of the compound, salt of the tautomer, or mixture thereof may be used to prepare medicaments for treating metastatic cancer. The variable A has the values defined herein. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 34 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2006:159951 USPATFULL Full-text  
TITLE: Use of sulfonamide-including compounds in combination  
with angiogenesis inhibitors  
INVENTOR(S): Owa, Takashi, Tsukuba-shi, JAPAN  
Ozawa, Yoichi, Tsukuba-shi, JAPAN  
Semba, Taro, Tsukuba-shi, JAPAN  
PATENT ASSIGNEE(S): Eisai Co., Ltd., Tokyo, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006135486	A1	20060622
APPLICATION INFO.:	US 2005-226655	A1	20050913 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 2005-54150	20050228
	JP 2005-54475	20050228
	US 2004-609452P	20040913 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: DARBY & DARBY P.C., P. O. BOX 5257, NEW YORK, NY,  
10150-5257, US  
NUMBER OF CLAIMS: 52  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 10 Drawing Page(s)  
LINE COUNT: 3301

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to pharmaceutical compositions comprising a sulfonamide-including compound in combination with an angiogenesis inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 35 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:299638 USPATFULL Full-text  
TITLE: Inhibition of FGFR3 and treatment of multiple myeloma

INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES  
 Chou, Joyce, El Cerrito, CA, UNITED STATES  
 Harwood, Eric, Seattle, WA, UNITED STATES  
 Heise, Carla C., Benicia, CA, UNITED STATES  
 Machajewski, Timothy D., Martinez, CA, UNITED STATES  
 Ryckman, David, Bellevue, WA, UNITED STATES  
 Shang, Xiao, Bellevue, WA, UNITED STATES  
 Wiesmann, Marion, Brisbane, CA, UNITED STATES  
 Zhu, Shuguang, Shoreline, WA, UNITED STATES  
 PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005261307	A1	20051124
APPLICATION INFO.:	US 2004-983174	A1	20041105 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

*Inhibiting  
FGF3  
No AUC or Cmax*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526426P	20031202 (60)
	US 2003-526425P	20031202 (60)
	US 2004-546017P	20040219 (60)
	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US  
 NUMBER OF CLAIMS: 28  
 EXEMPLARY CLAIM: 1  
 NUMBER OF DRAWINGS: 34 Drawing Page(s)  
 LINE COUNT: 17221

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting fibroblast growth factor receptor 3 and treating various conditions mediated by fibroblast growth factor receptor 3 are provided that include administering to a subject a compound of Structure I, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I have the following structure where and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting fibroblast growth factor receptor 3 and for use in treating conditions mediated by fibroblast growth factor receptor 3 such as multiple myeloma. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 36 OF 50 USPATFULL on STN  
 ACCESSION NUMBER: 2005:293608 USPATFULL Full-text  
 TITLE: Combination therapy with CHK1 inhibitors

INVENTOR(S): Gesner, Thomas G., Kensington, CA, UNITED STATES  
Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES  
Harrison, Stephen D., Albany, CA, UNITED STATES  
Ni, Zhi-Jie, Fremont, CA, UNITED STATES  
Brammeier, Nathan M., Walnut Creek, CA, UNITED STATES  
Zhou, Yasheen, Moraga, CA, UNITED STATES  
Le, Vincent P., San Francisco, CA, UNITED STATES  
PATENT ASSIGNEE(S): CHIRON CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005256157	A1	20051117
APPLICATION INFO.:	US 2005-41191	A1	20050121 (11)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-538984P	20040123 (60)
	US 2002-405729P	20020823 (60)
	US 2002-426282P	20021113 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 32  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 28 Drawing Page(s)  
LINE COUNT: 16679

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of Structure I, and salts, tautomers, stereoisomers, and mixtures thereof may be used in methods of inhibiting checkpoint kinase 1 in subjects, in methods for inducing cell cycle progression, and in methods for increasing apoptosis in cells. Such compounds may be used to prepare pharmaceutical compositions and may be used in conjunction with DNA damaging agents. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 37 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:275261 USPATFULL Full-text  
TITLE: Modulation of inflammatory and metastatic processes  
INVENTOR(S): Heise, Carla, Benicia, CA, UNITED STATES  
Lee, Sang H., Waltham, MA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005239825	A1	20051027
APPLICATION INFO.:	US 2005-61386	A1	20050218 (11)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2004-546395P	20040220 (60)
	US 2004-547103P	20040223 (60)
	US 2004-554771P	20040319 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US	
NUMBER OF CLAIMS:	39	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	9 Drawing Page(s)	
LINE COUNT:	5172	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Methods of using compounds having Structure I or the salts or tautomers of the compounds in the treatment of disorders relating to cell adhesion and metastatic processes are presented herein.      ##STR1##	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 38 OF 50 USPATFULL on STN

ACCESSION NUMBER:	2005:241451 USPATFULL <u>Full-text</u>
TITLE:	Quinolinone derivatives
INVENTOR(S):	Renhowe, Paul A., Danville, CA, UNITED STATES Shafer, Cynthia M., Moraga, CA, UNITED STATES Machajewski, Timothy D., Martinez, CA, UNITED STATES Pecchi, Sabina, Oakland, CA, UNITED STATES McBride, Christopher, Oakland, CA, UNITED STATES
PATENT ASSIGNEE(S):	Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005209456	A1	20050922
APPLICATION INFO.:	US 2005-92137	A1	20050329 (11)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2004-886950, filed on 8 Jul 2004, PENDING Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, GRANTED, Pat. No. US 6774237 Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5434	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB	A method for synthesizing a 4-amino substituted quinolinone includes reacting a substituted or unsubstituted 2-benzimidazolyl-2-acetate with a substituted or unsubstituted 2-aminobenzonitrile in the presence of a base or an acid. A 4-amino substituted quinolinone compound is formed by the reaction, and the 4-amino substituted quinolinone compound comprises a benzimidazole group.
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 39 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:241242 USPATFULL Full-text  
TITLE: Pharmaceutically acceptable salts of quinolinone  
compounds having improved pharmaceutical properties  
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES  
Chou, Joyce, El Cerrito, CA, UNITED STATES  
Harwood, Eric, Seattle, WA, UNITED STATES  
Machajewski, Timothy, Martinez, CA, UNITED STATES  
Ryckman, David, Bellevue, WA, UNITED STATES  
Shang, Xiao, Bellevue, WA, UNITED STATES  
Zhu, Shuguang, Shoreline, WA, UNITED STATES  
Okhamafe, Augustus O., Concord, CA, UNITED STATES  
Tesconi, Marc S., Monroe, NY, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005209247	A1	20050922
APPLICATION INFO.:	US 2004-982543	A1	20041105 (10)

*TREATMENT VEGF  
NO ANC or Cmax*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526425P	20031202 (60)
	US 2003-526426P	20031202 (60)
	US 2004-546017P	20040219 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 45  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 18 Drawing Page(s)  
LINE COUNT: 7116

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A lacate salt of a compound of Formula I or a tautomer of the compound,  
wherein Formula I has the following structure and R.sup.1-R.sup.9 and  
R.sup.12-R.sup.14 are as defined herein ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 40 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:234162 USPATFULL Full-text  
TITLE: Benzimidazole quinolinones and uses thereof  
INVENTOR(S): Barsanti, Paul A., Pleasant Hill, CA, UNITED STATES  
Bussiere, Dirksen, San Leandro, CA, UNITED STATES  
Harrison, Stephen D., Albany, CA, UNITED STATES  
Heise, Carla C., Benicia, CA, UNITED STATES  
Jansen, Johanna M., San Francisco, CA, UNITED STATES  
Jazan, Elisa, Berkeley, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
McCrea, William R. JR., Berkeley, CA, UNITED STATES  
Ng, Simon, Walnut Creek, CA, UNITED STATES  
Ni, Zhi-Jie, Fremont, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Pfister, Keith B., San Ramon, CA, UNITED STATES

Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES  
Renhowe, Paul A., Danville, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Silver, Joel B., Santa Cruz, CA, UNITED STATES  
Wagman, Allan S., Belmont, CA, UNITED STATES  
Wiesmann, Marion, Brisbane, CA, UNITED STATES  
Wayman, Kelly, San Rafael, CA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005203101	A1	20050915
APPLICATION INFO.:	US 2004-839793	A1	20040505 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2003-644055, filed on 19 Aug 2003, PENDING		

*COMPOSITION COMPRISING BLOOD SERUM + INSTANT COMPO. NO AUC or Cmax*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440; P.O. Box 8097, Emeryville, CA, 94662-8097, US

NUMBER OF CLAIMS: 9  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 14 Drawing Page(s)  
LINE COUNT: 14866  
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer include contacting a cancer cell with 4-amino-5-fluoro-3-(5-piperazin-1-yl-1H-benzimidazol-2-yl)quinolin-2(1H)-one, 4-amino-5-fluoro-3-[5-(4-methyl-4-oxidopiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one, tautomers thereof, pharmaceutically acceptable salts thereof, pharmaceutically acceptable salts of the tautomers thereof, or a mixture thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 41 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:159189 USPATFULL Full-text  
TITLE: Methods for synthesizing quinolinone compounds  
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES  
Chou, Joyce, El Cerrito, CA, UNITED STATES  
Harwood, Eric, Seattle, WA, UNITED STATES  
Machajewski, Timothy, Martinez, CA, UNITED STATES  
Ryckman, David, Bellevue, WA, UNITED STATES  
Shang, Xiao, Bellevue, WA, UNITED STATES  
Zhu, Shuguang, Shoreline, WA, UNITED STATES  
Okhamafe, Augustus O., Concord, CA, UNITED STATES  
Tesconi, Marc S., Monroe, NY, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005137399	A1	20050623
APPLICATION INFO.:	US 2004-982757	A1	20041105 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526425P	20031202 (60)
	US 2003-526426P	20031202 (60)
	US 2004-546017P	20040219 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O. Box 8097, Emeryville, CA, 94662-8097, US  
NUMBER OF CLAIMS: 71  
EXEMPLARY CLAIM: 1  
LINE COUNT: 2006

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of synthesizing a substituted or unsubstituted 4-amino-3-benzimidazolyl quinolinone compound includes reacting a first compound having the formula I with a second compound having the formula II in a suitable solvent in the presence of a sodium or potassium salt of a base. The first compound and the second compound have the following structures where the variables have the values described herein: ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 42 OF 50 USPATFULL on STN  
ACCESSION NUMBER: 2005:63630 USPATFULL Full-text  
TITLE: Quinolinone derivatives  
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Taylor, Clarke, Albany, CA, UNITED STATES  
McCrea, William R., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005054672	A1	20050310
APPLICATION INFO.:	US 2004-886950	A1	20040708 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, GRANTED, Pat. No. US 6774237 Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

*Supra ↑*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Young J. Suh, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	16	

EXEMPLARY CLAIM: 1

LINE COUNT: 5757

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formula I are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 43 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2005:44347 USPATFULL Full-text

TITLE: Fluoro substituted omega-carboxyaryl diphenyl urea for the treatment and prevention of diseases and conditions

INVENTOR(S): Boyer, Stephen, Hilden, GERMANY, FEDERAL REPUBLIC OF  
Dumas, Jacques, Bethany, CT, UNITED STATES  
Riedl, Bernd, Wuppertal, GERMANY, FEDERAL REPUBLIC OF  
Wilhelm, Scott, Orange, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005038080	A1	20050217
APPLICATION INFO.:	US 2004-895985	A1	20040722 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-489102P	20030723 (60)
	US 2004-540326P	20040202 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201	

NUMBER OF CLAIMS: 54

EXEMPLARY CLAIM: 1

LINE COUNT: 2492

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of Formula (I): ##STR1##

salts thereof, prodrugs thereof, metabolites thereof, pharmaceutical compositions containing such a compound, and use of such compound and compositions to treat diseases mediated by raf, VEGFR, PDGFR, p38 and flt-3.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 44 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2004:280895 USPATFULL Full-text

TITLE: Methods of treating cancer and related methods

INVENTOR(S): Hannah, Alison, Sebastopol, CA, UNITED STATES

Harwood, Eric, Seattle, WA, UNITED STATES

Haroldsen, Peter, Pacifica, CA, UNITED STATES

Heise, Carla, Benecia, CA, UNITED STATES  
Machajewski, Timothy, Martinez, CA, UNITED STATES  
Samara, Emil, Danville, CA, UNITED STATES  
Shang, Xiao, Bellevue, WA, UNITED STATES  
Vora, Jayesh, Martinez, CA, UNITED STATES  
Zhu, Shuguang, Seattle, WA, UNITED STATES  
Chiron Corporation (U.S. corporation)

PATENT ASSIGNEE(S):

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004220196	A1	20041104
APPLICATION INFO.:	US 2003-706328	A1	20031112 (10)

*APPLICATION*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-460369P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460328P	20030403 (60)
	US 2002-426204P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-426107P	20021113 (60)
	US 2003-517915P	20031107 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097  
NUMBER OF CLAIMS: 58  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 2 Drawing Page(s)  
LINE COUNT: 2045

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one and determining a metabolic profile therefore.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 45 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2004:127561 USPATFULL Full-text  
TITLE: Quinolinone derivatives  
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Taylor, Clarke, Ann Arbor, MI, UNITED STATES  
McCrea, William R., JR., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004097545	A1	20040520
	US 6800760	B2	20041005
APPLICATION INFO.:	US 2003-613411	A1	20030703 (10)

✓ METHOD USING  
GENUS - GENUS  
DOES NOT ENCOMPASS  
COMPOUND. NO  
ANC OR Cmax

RELATED APPLN. INFO.: Division of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property, P.O. Box 8097, Emeryville, CA, 94662-8097	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6582	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 46 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2004:121119 USPATFULL Full-text

TITLE: Benzimidazole quinolinones and uses thereof

INVENTOR(S): Barsanti, Paul A., Walnut Creek, CA, UNITED STATES  
Bussiere, Dirksen, San Leandro, CA, UNITED STATES  
Harrison, Stephen D., Albany, CA, UNITED STATES  
Heise, Carla C., Benicia, CA, UNITED STATES  
Jansen, Johanna M., San Francisco, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES  
Michajewski, Timothy D., Martinez, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
McCrea, William R., JR., Berkeley, CA, UNITED STATES  
Ng, Simon, Walnut Creek, CA, UNITED STATES  
Ni, Zhi-Jie, Fremont, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Pfister, Keith B., San Ramon, CA, UNITED STATES  
Ramurthy, Savithri, Walnut Creek, CA, UNITED STATES  
Renhowe, Paul A., Danville, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Silver, Joel B., Concord, NH, UNITED STATES  
Wagman, Allan S., Belmont, CA, UNITED STATES  
Wiesmann, Marion, Brisbane, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004092535	A1	20040513
APPLICATION INFO.:	US 2003-644055	A1	20030819 (10)

NUMBER	DATE
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*Supra* ↑

PRIORITY INFORMATION: US 2002-405729P 20020823 (60)  
US 2002-426107P 20021113 (60)  
US 2002-426226P 20021113 (60)  
US 2002-426282P 20021113 (60)  
US 2002-428210P 20021121 (60)  
US 2003-460328P 20030403 (60)  
US 2003-460493P 20030403 (60)  
US 2003-460327P 20030403 (60)  
US 2003-478916P 20030616 (60)  
US 2003-484048P 20030701 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097

NUMBER OF CLAIMS: 68  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 14 Drawing Page(s)  
LINE COUNT: 18050

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting various enzymes and treating various conditions are provided that include administering to a subject a compound of Structure I or IB, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I and IB have the following structures and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting various enzymes and for use in treating conditions mediated by such enzymes. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 47 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2004:7861 USPATFULL Full-text  
TITLE: Quinolinone derivatives  
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Taylor, Clarke, Ann Arbor, MI, UNITED STATES  
McCrea, William R., JR., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Eliza, Richmond, CA, UNITED STATES  
PATENT ASSIGNEE(S): CHIRON CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004006101	A1	20040108
	US 6762194	B2	20040713
APPLICATION INFO.:	US 2003-387355	A1	20030312 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2002-284017, filed on 30 Oct 2002, PENDING Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, GRANTED, Pat. No. US 6605617		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven W. Collier, Chiron Corporation, P.O. Box 8097,	

Emeryville, CA, 94662  
NUMBER OF CLAIMS: 42  
EXEMPLARY CLAIM: 1  
LINE COUNT: 5740

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 48 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2003:226411 USPATFULL Full-text

TITLE: Quinolinone derivatives

INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Taylor, Clarke, Ann Arbor, MI, UNITED STATES  
McCrea Jr, William R., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES

PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003158224	A1	20030821
	US 6774237	B2	20040810
APPLICATION INFO.:	US 2002 284017	A1	20021030 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-951265, filed on 11 Sep 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Steven W. Collier, Chiron Corporation, P.O. Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	43	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5881	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier

✓ COMPOUNDS &  
COMPOSITIONS -  
NO METHODS



and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 49 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2003:38371 USPATFULL Full-text  
TITLE: Quinolinone derivatives  
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D, Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES  
Taylor, Clarke, Ann Arbor, MI, UNITED STATES  
McCrea, William R., JR., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003028018	A1	20030206
APPLICATION INFO.:	US 2002-116117	A1	20020405 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-951265, filed on 11 Sep 2001, PENDING		

*CASCA EXPRESSING  
THYRONE KINASE w/  
GENUS.*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Chiron Corporation, Intellectual Property Law Dept., PO Box 8097, Emeryville, CA, 94662	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6573	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or pharmaceutically acceptable salts thereof and a pharmaceutically acceptable carrier and may be prepared by mixing the organic compounds or pharmaceutically acceptable salts of the organic compounds with a carrier and water. A method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient in need thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L4 ANSWER 50 OF 50 USPATFULL on STN

ACCESSION NUMBER: 2002:199281 USPATFULL Full-text  
TITLE: Quinolinone derivatives  
INVENTOR(S): Renhowe, Paul A., Danville, CA, UNITED STATES  
Pecchi, Sabina, Oakland, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Shafer, Cynthia M., El Sobrante, CA, UNITED STATES

Taylor, Clarke, Ann Arbor, MI, UNITED STATES  
McCrea, William R., JR., Berkeley, CA, UNITED STATES  
McBride, Christopher, Oakland, CA, UNITED STATES  
Jazan, Elisa, Richmond, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002107392	A1	20020808
	US 6605617	B2	20030812
APPLICATION INFO.:	US 2001-951265	A1	20010911 (9)

✓ CLAIM 30 -  
TREATING PATIENTS IN  
NEED OF VEGF INHIBITORS  
USING (GNA) ENCOMPASSING  
INSTANT COMPD. NO AUC  
OR Cmax

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-232159P	20000911 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	David Lentini, CHIRON CORPORATION, 4560 Horton Street, Emeryville, CA, 94608-2916	
NUMBER OF CLAIMS:	37	
EXEMPLARY CLAIM:	1	
LINE COUNT:	6588	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Organic compounds having the formulas I and II are provided where the  
variables have the values described herein. ##STR1##

Pharmaceutical formulations include the organic compounds or  
pharmaceutically acceptable salts thereof and a pharmaceutically acceptable  
carrier and may be prepared by mixing the organic compounds or  
pharmaceutically acceptable salts of the organic compounds with a carrier  
and water. A method of treating a patient includes administering a  
pharmaceutical formulation according to the invention to a patient in need  
thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> s 14 and "AUC"

L5 2 L4 AND "AUC"

=> d 15 1-2 ibib, abs, hitstr

L5 ANSWER 1 OF 2 USPATFULL on STN

ACCESSION NUMBER: 2005:299638 USPATFULL Full-text  
TITLE: Inhibition of FGFR3 and treatment of multiple myeloma  
INVENTOR(S): Cai, Shaopei, Seattle, WA, UNITED STATES  
Chou, Joyce, El Cerrito, CA, UNITED STATES  
Harwood, Eric, Seattle, WA, UNITED STATES  
Heise, Carla C., Benicia, CA, UNITED STATES  
Machajewski, Timothy D., Martinez, CA, UNITED STATES  
Ryckman, David, Bellevue, WA, UNITED STATES  
Shang, Xiao, Bellevue, WA, UNITED STATES  
Wiesmann, Marion, Brisbane, CA, UNITED STATES  
Zhu, Shuguang, Shoreline, WA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005261307	A1	20051124

APPLICATION INFO.: US 2004-983174 A1 20041105 (10)  
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-644055, filed  
on 19 Aug 2003, PENDING

*MULTIPLE MYELOMA  
AS AUC OF CNA*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-517915P	20031107 (60)
	US 2003-526426P	20031202 (60)
	US 2003-526425P	20031202 (60)
	US 2004-546017P	20040219 (60)
	US 2002-405729P	20020823 (60)
	US 2002-426107P	20021113 (60)
	US 2002-426226P	20021113 (60)
	US 2002-426282P	20021113 (60)
	US 2002-428210P	20021121 (60)
	US 2003-460328P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460327P	20030403 (60)
	US 2003-478916P	20030616 (60)
	US 2003-484048P	20030701 (60)

DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097, US  
NUMBER OF CLAIMS: 28  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 34 Drawing Page(s)  
LINE COUNT: 17221

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of inhibiting fibroblast growth factor receptor 3 and treating various conditions mediated by fibroblast growth factor receptor 3 are provided that include administering to a subject a compound of Structure I, a pharmaceutically acceptable salt thereof, a tautomer thereof, or a pharmaceutically acceptable salt of the tautomer. Compounds having the Structure I have the following structure where and have the variables described herein. Such compounds may be used to prepare medicaments for use in inhibiting fibroblast growth factor receptor 3 and for use in treating conditions mediated by fibroblast growth factor receptor 3 such as multiple myeloma. ##STR1##

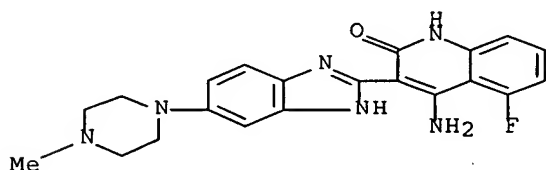
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



L5 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER: 2004:280895 USPATFULL Full-text  
TITLE: Methods of treating cancer and related methods  
INVENTOR(S): Hannah, Alison, Sebastopol, CA, UNITED STATES  
Harwood, Eric, Seattle, WA, UNITED STATES  
Haroldsen, Peter, Pacifica, CA, UNITED STATES  
Heise, Carla, Benecia, CA, UNITED STATES  
Machajewski, Timothy, Martinez, CA, UNITED STATES  
Samara, Emil, Danville, CA, UNITED STATES  
Shang, Xiao, Bellevue, WA, UNITED STATES  
Vora, Jayesh, Martinez, CA, UNITED STATES  
Zhu, Shuguang, Seattle, WA, UNITED STATES  
PATENT ASSIGNEE(S): Chiron Corporation (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004220196	A1	20041104	
APPLICATION INFO.:	US 2003-706328	A1	20031112	(10)

*APPLICATION*

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-460369P	20030403 (60)
	US 2003-460493P	20030403 (60)
	US 2003-460328P	20030403 (60)
	US 2002-426204P	20021113 (60)
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DOCUMENT TYPE: Utility  
FILE SEGMENT: APPLICATION  
LEGAL REPRESENTATIVE: Chiron Corporation, Intellectual Property - R440, P.O.  
Box 8097, Emeryville, CA, 94662-8097  
NUMBER OF CLAIMS: 58  
EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 2 Drawing Page(s)  
LINE COUNT: 2045

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating cancer using 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one are provided. In particular, the methods are effective for the treatment of solid tumors or leukemias, including prostate, colorectal, breast, multiple myeloma, pancreatic, small cell carcinoma, acute myelogenous leukemia, chronic myelogenous leukemia, or myelo-proliferative disease. Further provided are methods of measuring the amount of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]quinolin-2(1H)-one and determining a metabolic profile therefore.

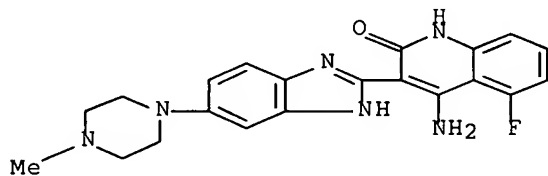
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 405169-16-6P

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405169-16-6 USPATFULL

CN 2(1H)-Quinolinone, 4-amino-5-fluoro-3-[6-(4-methyl-1-piperazinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)



=> s 14 and ("tyrosine kinase")  
 L6 37 L4 AND ("TYROSINE KINASE")

=> s 14 and ("cancer" or "tumor")  
 L7 32 L4 AND ("CANCER" OR "TUMOR")

=> d his

(FILE 'HOME' ENTERED AT 11:33:03 ON 03 MAY 2007)

FILE 'REGISTRY' ENTERED AT 11:33:15 ON 03 MAY 2007

L1 STRUCTURE UPLOADED  
 L2 0 S L1 EXA  
 L3 2 S L1 EXA FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 11:34:23 ON 03 MAY 2007

L4 50 S L3  
 L5 2 S L4 AND "AUC"  
 L6 37 S L4 AND ("TYROSINE KINASE")  
 L7 32 S L4 AND ("CANCER" OR "TUMOR")

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	155.15	217.96
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-23.40	-23.40

STN INTERNATIONAL LOGOFF AT 11:37:11 ON 03 MAY 2007